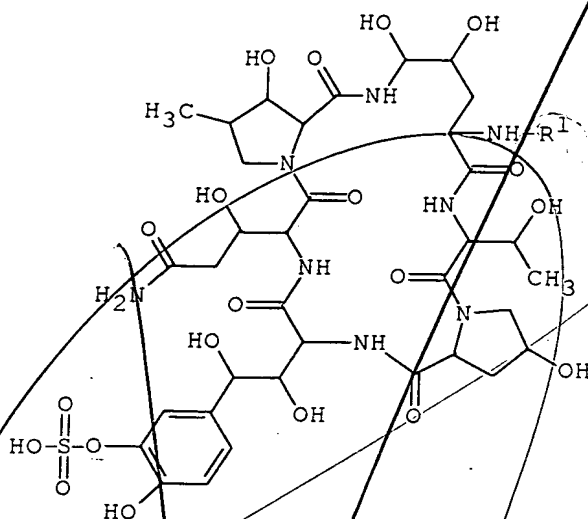


CLAIMS

1. A polypeptide compound of the following general formula :



wherein R¹ is lower alkanoyl substituted with
unsaturated 6-membered heteromono-cyclic
group containing at least one nitrogen
atom which may have one or more
suitable substituent(s);
lower alkanoyl substituted with
1,2,3,4-tetrahydroisoquinoline which
may have one or more suitable
substituent(s);
lower alkanoyl substituted with
unsaturated condensed heterocyclic
group containing at least one oxygen
atom which may have one or more
suitable substituent(s);
lower alkanoyl substituted with
unsaturated condensed heterocyclic
group containing 1 to 3 sulfur atom(s)

which may have one or more suitable
substituent(s);

lower alkanoyl substituted with
unsaturated condensed heterocyclic
group containing 2 or more nitrogen
atom(s) which may have one or more
suitable substituent(s);

lower alkanoyl substituted with
saturated 3 to 8 membered
heteromonocyclic group containing at
least one nitrogen atom which may have
one or more suitable substituent(s);

ar(lower)alkenoyl substituted with
aryl which may have one or more
suitable substituent(s);

naphthyl(lower)alkenoyl which may
have one or more higher alkoxy;

lower alkynoyl which may have one or
more suitable substituent(s);

✓ (C₂-C₆)alkenoyl substituted with
naphthyl having higher alkoxy;

✓ ar(C₂-C₆)alkenoyl substituted with
aryl having one or more suitable
substituent(s), in which ar(C₂-C₆)-
alkenoyl may have one or more suitable
substituent(s);

aroyl substituted with heterocyclic
group which may have one or more
suitable substituent(s), in which aroyl
may have one or more suitable
substituent(s);

aroyl substituted with aryl having
heterocyclic(higher)alkoxy, in which
heterocyclic group may have one or more
suitable substituent(s);

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aroyle substituted with aryl having
lower alkoxy(higher)alkoxy;

aroyle substituted with aryl having
lower alkenyl(lower)alkoxy;

aroyle substituted with 2 lower
alkoxy;

aroyle substituted with aryl having
lower alkyl;

aroyle substituted with aryl having
higher alkyl;

aryloxy(lower)alkanoyl which may have
one or more suitable substituent(s);

ar(lower)alkoxy(lower)alkanoyl which
may have one or more suitable
substituent(s);

arylamino(lower)alkanoyl which may
have one or more suitable
substituent(s);

lower alkanoyl substituted with
pyrazolyl which has lower alkyl and
aryl having higher alkoxy;

lower alkoxy(higher)alkanoyl, in
which higher alkanoyl may have one or
more suitable substituent(s);

aroyle substituted with aryl having
heterocyclicoxy, in which
heterocyclicoxy may have one or more
suitable substituent(s);

aroyle substituted with
cyclo(lower)alkyl having lower alkyl;
indolylcarbonyl having higher alkyl;
naphthoyl having lower alkyl;
naphthoyl having higher alkyl;
naphthoyl having lower
alkoxy(higher)alkoxy;

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aroyl substituted with aryl having lower alkoxy(lower)alkoxy(higher)-alkoxy;

aroyl substituted with aryl having lower alkoxy(lower)alkoxy;

aroyl substituted with aryl which has aryl having lower alkoxy;

aroyl substituted with aryl which has aryl having lower alkoxy(lower)alkoxy;

aroyl substituted with aryl having heterocyclicoxy(higher)alkoxy;

aroyl substituted with aryl having aryloxy(lower)alkoxy;

aroyl substituted with aryl having heterocycliccarbonyl(higher)alkoxy;

lower alkanoyl substituted with oxazolyl which has aryl having higher alkoxy;

lower alkanoyl substituted with furyl which has aryl substituted with aryl having lower alkoxy;

lower alkanoyl substituted with triazolyl which has oxo and aryl having higher alkyl;

higher alkanoyl having hydroxy;

higher alkanoyl having ar(lower)alkyl and hydroxy;

3-methyl-tridecenoyl; or

(C₂-C₆)alkanoyl substituted with aryl having higher alkoxy, in which (C₂-C₆)-alkanoyl may have amino or protected amino, and

a pharmaceutically acceptable salt thereof.

2. A compound of claim 1, wherein

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R¹ is lower alkanoyl substituted with unsaturated
6-membered heteromonocyclic group containing at
least one nitrogen atom which may have 1 to 3
substituent(s) selected from the group consisting
of lower alkoxy, higher alkoxy, lower alkyl,
higher alkyl, higher alkoxy(lower)alkyl, phenyl
having lower alkoxy, phenyl having higher alkoxy,
naphthyl having lower alkoxy, naphthyl having
higher alkoxy, phenyl having lower alkyl, phenyl
having higher alkyl, naphthoyl having higher
alkoxy, phenyl substituted with phenyl having
lower alkyl, 3 to 8-membered saturated
heteromonocyclic group containing at least one
nitrogen atom which may have phenyl having higher
alkoxy, phenyl substituted with phenyl having
lower alkoxy, 3 to 8-membered saturated
heteromonocyclic group containing at least one
nitrogen atom which may have phenyl having lower
alkoxy(higher)alkoxy, 3 to 8-membered saturated
heteromonocyclic group containing at least one
nitrogen atom which may have phenyl having lower
alkoxy, and oxo;

lower alkanoyl substituted with 1,2,3,4-
tetrahydroisoquinoline having higher alkoxy and
lower alkoxy carbonyl;

lower alkanoyl substituted with unsaturated
condensed heterocyclic group containing at least
one oxygen atom which may have 1 to 3
substituent(s) selected from the group consisting
of lower alkoxy, higher alkoxy, lower alkyl,
higher alkyl, higher alkoxy(lower)alkyl, phenyl
having lower alkoxy, phenyl having higher alkoxy,

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naphthyl having lower alkoxy, naphthyl having higher alkoxy, phenyl having lower alkyl, phenyl having higher alkyl, naphthoyl having higher alkoxy, phenyl substituted with phenyl having lower alkyl, unsaturated 6-membered heteromonocyclic group containing at least one nitrogen atom which may have higher alkoxy, and oxo;

lower alkanoyl substituted with unsaturated condensed heterocyclic group containing 1 to 3 sulfur atom(s) which may have 1 to 3 substituent(s) selected from the group consisting of lower alkoxy, higher alkoxy, lower alkyl, higher alkyl, higher alkoxy(lower)alkyl, phenyl having lower alkoxy, phenyl having higher alkoxy, naphthyl having lower alkoxy, naphthyl having higher alkoxy, phenyl having lower alkyl, phenyl having higher alkyl, naphthoyl having higher alkoxy, phenyl substituted with phenyl having lower alkyl, and oxo;

lower alkanoyl substituted with unsaturated condensed heterocyclic group containing 2 or more nitrogen atoms which may have 1 to 3 substituent(s) selected from the group containing of lower alkoxy, higher alkoxy, lower alkyl, higher alkyl, higher alkoxy(lower)alkyl, phenyl having lower alkoxy, phenyl having higher alkoxy, naphthyl having lower alkoxy, naphthyl having higher alkoxy, phenyl having lower alkyl, phenyl having higher alkyl, naphthoyl having higher alkoxy, phenyl substituted with phenyl having lower alkyl, and oxo; or

lower alkanoyl substituted with saturated 3 to 8-membered heteromonocyclic group containing at least one nitrogen atom which may have 1 to 3

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substituent(s) selected from the group consisting of lower alkoxy, higher alkoxy, lower alkyl, higher alkyl, higher alkoxy(lower)alkyl, phenyl having lower alkoxy, phenyl having higher alkoxy, naphthyl having lower alkoxy, naphthyl having higher alkoxy, phenyl having lower alkyl, phenyl having higher alkyl, naphthoyl having higher alkoxy, phenyl substituted with phenyl having lower alkyl, and oxo.

3. A compound of claim 1, wherein

R^1 is ar(lower)alkenoyl substituted with aryl which may have 1 to 3 substituent(s) selected from the group consisting of lower alkoxy, higher alkoxy, lower alkyl, higher alkyl, higher alkoxy(lower)alkyl, phenyl having lower alkoxy, phenyl having higher alkoxy, naphthyl having lower alkoxy, naphthyl having higher alkoxy, phenyl having lower alkyl, phenyl having higher alkyl, naphthoyl having higher alkoxy, phenyl substituted with phenyl having lower alkyl, lower alkoxy(lower)alkyl, halo(lower)alkoxy, lower alkenyloxy, halo(higher)alkoxy, lower alkoxy(higher)alkoxy, and oxo;

naphthyl(lower)alkenoyl which may have 1 to 3 higher alkoxy;

lower alkynoyl which may have 1 to 3 substituent(s) selected from the group consisting of lower alkoxy, higher alkoxy, lower alkyl, higher alkyl, higher alkoxy(lower)alkyl, phenyl having lower alkoxy, phenyl having higher alkoxy, naphthyl having lower alkoxy, naphthyl having higher alkoxy, phenyl having lower alkyl, phenyl having higher alkyl, naphthoyl having higher alkoxy, phenyl substituted with phenyl having

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lower alkyl, and oxo;

ar(C₂-C₆)alkanoyl substituted with aryl having 1 to 3 substituent(s) selected from the group consisting of lower alkoxy, higher alkoxy, lower alkyl, higher alkyl, higher alkoxy(lower)alkyl, phenyl having lower alkoxy, phenyl having higher alkoxy, naphthyl having lower alkoxy, naphthyl having higher alkoxy, phenyl having lower alkyl, phenyl having higher alkyl, naphthoyl having higher alkoxy, phenyl substituted with phenyl having lower alkyl, phenyl having lower alkoxy(lower)alkoxy, and oxo, in which ar(C₂-C₆)-alkanoyl may have hydroxy, oxo, protected amino or amino; or

(C₂-C₆)alkanoyl substituted with naphthyl having higher alkoxy.

4. A compound of claim 1, wherein

R¹ is aroyl substituted with heterocyclic group which may have 1 to 3 substituent(s) selected from the group consisting of lower alkoxy, higher alkoxy, lower alkyl, higher alkyl, higher alkoxy(lower)alkyl, phenyl having lower alkoxy, phenyl having higher alkoxy, naphthyl having lower alkoxy, naphthyl having higher alkoxy, phenyl having lower alkyl, phenyl having higher alkyl, naphthoyl having higher alkoxy, phenyl substituted with phenyl having lower alkyl, phenyl having lower alkoxy(higher)alkoxy, phenyl having higher alkenyloxy, heterocyclic group substituted with phenyl having lower alkoxy, heterocyclic group, cyclo(lower)alkyl having phenyl, phenyl having cyclo(lower)alkyl, phenyl substituted with heterocyclic group having lower alkyl and oxo, cyclo(lower)alkyl having lower alkyl, phenyl

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substituted with phenyl having lower alkoxy,
phenyl having heterocyclic group and oxo, in which
aroyl may have halogen;

5 aroyl substituted with aryl having
heterocyclic(higher)alkoxy, in which heterocyclic
group may have lower alkyl;

aroyl substituted with aryl having lower
alkoxy(higher)alkoxy;

10 aroyl substituted with aryl having lower
alkenyl(lower)alkoxy;

aroyl substituted with 2 lower alkoxy;

aroyl substituted with aryl having lower alkyl;

or

15 aroyl substituted with aryl having higher alkyl.

5. A compound of claim 1, wherein

20 R^1 is aryloxy(lower)alkanoyl which may have 1 to 3
substituent(s) selected from the group consisting
of lower alkoxy, higher alkoxy, lower alkyl,
higher alkyl, higher alkoxy(lower)alkyl, phenyl
having lower alkoxy, phenyl having higher alkoxy,
naphthyl having lower alkoxy, naphthyl having
higher alkoxy, phenyl having lower alkyl, phenyl
having higher alkyl, naphthoyl having higher
25 alkoxy, phenyl substituted with phenyl having
lower alkyl, and oxo;

30 ar(lower)alkoxy(lower)alkanoyl which may have 1
to 3 substituent(s) selected from the group
consisting of lower alkoxy, higher alkoxy, lower
alkyl, higher alkyl, higher alkoxy(lower)alkyl,
phenyl having lower alkoxy, phenyl having higher
alkoxy, naphthyl having lower alkoxy, naphthyl
having higher alkoxy, phenyl having lower alkyl,
phenyl having higher alkyl, naphthoyl having
35 higher alkoxy, phenyl substituted with phenyl

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having lower alkyl, and oxo; or

arylamino(lower)alkanoyl which may have 1 to 3
substituent(s) selected from the group consisting
of lower alkoxy, higher alkoxy, lower alkyl,
higher alkyl, higher alkoxy(lower)alkyl, phenyl
having lower alkoxy, phenyl having higher alkoxy,
naphthyl having lower alkoxy, naphthyl having
higher alkoxy, phenyl having lower alkyl, phenyl
having higher alkyl, naphthoyl having higher
alkoxy, phenyl substituted with phenyl having
lower alkyl, and oxo.

6. A compound of claim 1, wherein

R^1 is lower alkanoyl substituted with pyrazolyl which
has lower alkyl and aryl having higher alkoxy;

lower alkoxy(higher)alkanoyl, in which higher
alkanoyl may have amino or protected amino;

aroyl substituted with aryl having
heterocyclicoxy, in which heterocyclicoxy may have
phenyl;

aroyl substituted with cyclo(lower)alkyl having
lower alkyl;

indolylcarbonyl having higher alkyl;

naphthoyl having lower alkyl;

naphthoyl having higher alkyl;

naphthoyl having lower alkoxy(higher)alkoxy;

aroyl substituted with aryl having lower
alkoxy(lower)alkoxy(higher)alkoxy;

aroyl substituted with aryl having lower
alkoxy(lower)alkoxy;

aroyl substituted with aryl which has phenyl
having lower alkoxy;

aroyl substituted with aryl which has phenyl
having lower alkoxy(lower)alkoxy;

aroyl substituted with aryl having

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heterocyclicoxy(higher)alkoxy;

aryloxy substituted with aryl having
phenoxy(lower)alkoxy;

aryloxy substituted with aryl having
heterocycliccarbonyl(higher)alkoxy;

lower alkanoyl substituted with oxazolyl which
has aryl having higher alkoxy;

lower alkanoyl substituted with furyl which has
aryl substituted with phenyl having lower alkoxy;

lower alkanoyl substituted with triazolyl which
has oxo and phenyl having higher alkyl;

higher alkanoyl having hydroxy;

higher alkanoyl having benzyl and hydroxy;

3-methyl-tridecenoyl; or

(C₂-C₆)alkanoyl substituted with aryl having
higher alkoxy, in which (C₂-C₆)alkanoyl may have
amino or protected amino.

7. A compound of claim 2, wherein

R¹ is lower alkanoyl substituted with pyridyl or
pyridazinyl, each of which may have 1 to 3
substituent(s) selected from the group consisting
of higher alkoxy, higher alkoxy(lower)alkyl,
phenyl having higher alkoxy, phenyl substituted
with phenyl having lower alkoxy, piperazinyl
substituted with phenyl having higher alkoxy,
piperazinyl substituted with phenyl having lower
alkoxy(higher)alkoxy, and piperazinyl substituted
with phenyl having lower alkoxy;

lower alkanoyl substituted with 1,2,3,4-
tetrahydroisoquinoline having higher alkoxy and
lower alkoxy carbonyl;

lower alkanoyl substituted with coumarin which
may have 1 to 3 substituent(s) selected from the
group consisting of higher alkoxy, and oxo;

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lower alkanoyl substituted with benzothiophenyl which may have 1 to 3 higher alkoxy;

lower alkanoyl substituted with benzo[b]furanyl which may have 1 to 3 substituent(s) selected from the group consisting of higher alkoxy and lower alkyl;

lower alkanoyl substituted with benzooxazolyl which may have 1 to 3 substituent(s) selected from the group consisting of higher alkyl, phenyl having lower alkoxy, phenyl substituted with phenyl having lower alkyl, and pyridyl having higher alkoxy;

lower alkanoyl substituted with benzimidazolyl which may have 1 to 3 substituent(s) selected from the group consisting of higher alkyl, and phenyl having lower alkoxy; or

lower alkanoyl substituted with piperidyl or piperazinyl, each of which may have 1 to 3 substituent(s) selected from the group consisting of phenyl having higher alkoxy, and naphthoyl having higher alkoxy.

8. A compound of claim 3, wherein

R^1 is phenyl(lower)alkenoyl substituted with phenyl which may have 1 to 3 substituent(s) selected from the group consisting of lower alkoxy, lower alkyl, higher alkyl, lower alkoxy(lower)alkyl, halo(lower)alkoxy, lower alkenyloxy, halo(higher)alkoxy, and lower alkoxy(higher)alkoxy;

naphthyl(lower)alkenoyl which may have 1 to 3 higher alkoxy;

lower alkynoyl which may have 1 to 3 substituent(s) selected from the group consisting of naphthyl having higher alkoxy, and phenyl

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substituted with phenyl having lower alkyl;

phenyl(C₂-C₆)alkanoyl substituted with phenyl
which has 1 to 3 substituent(s) selected from the
group consisting of lower alkoxy, higher alkoxy,
lower alkyl, higher alkyl, and phenyl having lower
alkoxy(lower)alkyl,

in which phenyl(C₂-C₆)alkanoyl may have hydroxy,
oxo, protected amino or amino; or

(C₂-C₆)alkanoyl substituted with naphthyl having
higher alkoxy.

9. A compound of claim 4, wherein

R¹ is benzoyl substituted with saturated 6-membered
heteromonocyclic group containing at least one
nitrogen atom which may have 1 to 3 substituent(s)
selected from the group consisting of phenyl
having lower alkoxy, phenyl having higher alkoxy,
phenyl having lower alkyl, phenyl having lower
alkoxy(higher)alkoxy, phenyl having higher
alkenyloxy, piperidyl substituted with phenyl
having lower alkoxy, piperidyl, cyclo(lower)alkyl
having phenyl, phenyl having cyclo(lower)alkyl,
and phenyl substituted with triazolyl having oxo
and lower alkyl,

in which benzoyl may have halogen;

benzoyl substituted with unsaturated 5-membered
heteromonocyclic group containing 1 to 2 oxygen
atom(s) and 1 to 3 nitrogen atom(s) which may have
1 to 3 substituent(s) selected from the group
consisting of higher alkyl, phenyl having lower
alkoxy, phenyl having higher alkoxy, phenyl having
lower alkoxy(higher)alkoxy, and phenyl substituted
with phenyl having lower alkoxy;

benzoyl substituted with 5 or 6-membered
heteromonocyclic group containing 1 or 2 nitrogen

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atom(s) which may have 1 to 3 substituent(s)
selected from the group consisting of higher alkyl
and phenyl having lower alkoxy;

5 benzoyl substituted with 5-membered
heteromonocyclic group containing 1 to 2 nitrogen
atom(s) and 1 to 2 sulfur atom(s) which may have 1
to 3 substituent(s) selected from the group
consisting of phenyl having lower alkoxy, phenyl
having higher alkoxy, cyclo(lower)alkyl having
10 lower alkyl, phenyl substituted with phenyl having
lower alkoxy, phenyl having cyclo(lower)alkyl,
phenyl having piperidine, and phenyl having lower
alkoxy(higher)alkoxy;

15 benzoyl substituted with phenyl having higher
alkoxy substituted with unsaturated 3 to 8-
membered heteromonocyclic group containing at
least one nitrogen atom;

20 benzoyl substituted with phenyl having higher
alkoxy substituted with saturated 6-membered
heteromonocyclic group containing 1 to 2 oxygen
atom(s) and 1 to 3 nitrogen atom(s) which may have
lower alkyl;

25 benzoyl substituted with phenyl having lower
alkoxy(higher)alkoxy;

30 benzoyl substituted with phenyl having lower
alkenyl(lower)alkoxy;

benzoyl substituted with 2 lower alkoxy;

benzoyl substituted with phenyl having lower
alkyl; or

35 benzoyl substituted with phenyl having higher
alkyl.

10. A compound of claim 5, wherein

R^1 is phenyloxy(lower)alkanoyl which may have 1 to 3
35 higher alkoxy;

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phenyl(lower)alkoxy(lower)alkanoyl which may have 1 to 3 higher alkoxy; or

phenylamino(lower)alkanoyl which may have 1 to 3 higher alkoxy.

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11. A compound of claim 1, wherein

R¹ is benzoyl substituted with piperazinyl which may have 1 to 3 substituent(s) selected from the group consisting of phenyl having lower alkoxy, phenyl having higher alkoxy, phenyl having lower alkyl, phenyl having lower alkoxy(higher)alkoxy, phenyl having higher alkenyloxy, piperidyl substituted with phenyl having lower alkoxy, cyclo(lower)alkyl having phenyl, phenyl having cyclo(lower)alkyl, and phenyl substituted with triazolyl having oxo and lower alkyl,

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in which benzoyl may have halogen;

benzoyl substituted with isoxazolyl which may have 1 to 3 substituent(s) selected from the group consisting of higher alkyl, phenyl having lower alkoxy, phenyl having higher alkoxy, phenyl having lower alkoxy(higher)alkoxy, and phenyl substituted with phenyl having lower alkoxy;

20

benzoyl substituted with phenyl having lower alkoxy(higher)alkoxy;

25

benzoyl substituted with phenyl having lower alkyl;

benzoyl substituted with phenyl having higher alkyl;

30

phenyl(lower)alkenoyl substituted with phenyl which may have 1 to 3 substituent(s) selected from the group consisting of lower alkoxy, lower alkyl, higher alkyl, lower alkoxy(lower)alkyl, halo(lower)alkoxy, lower alkenyloxy, halo(higher)alkoxy and lower alkoxy(higher)alkoxy;

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benzoyl substituted with thiadiazolyl which may have 1 to 3 substituent(s) selected from the group consisting of phenyl having lower alkoxy, phenyl having higher alkoxy, cyclo(lower)alkyl having lower alkyl, phenyl substituted with phenyl having lower alkoxy, phenyl having cyclo(lower)alkyl, phenyl having piperidyl, and phenyl having lower alkoxy(higher)alkoxy; or

benzoyl substituted with oxadiazolyl which may have 1 to 3 substituent(s) selected from the group consisting of phenyl having lower alkoxy, phenyl having higher alkoxy, phenyl having lower alkoxy(higher)alkoxy, higher alkyl and phenyl substituted with phenyl having lower alkoxy.

12. A compound of claim 11, wherein

R¹ is benzoyl substituted with phenyl having lower alkoxy(higher)alkoxy; or

benzoyl substituted with phenyl having lower alkyl.

13. A compound of claim 11, wherein

R¹ is benzoyl substituted with piperazinyl which may have phenyl having lower alkoxy;

benzoyl substituted with isoxazolyl which may have phenyl having lower alkoxy;

benzoyl substituted with thiadiazolyl which may have phenyl having lower alkoxy(higher)alkoxy; or

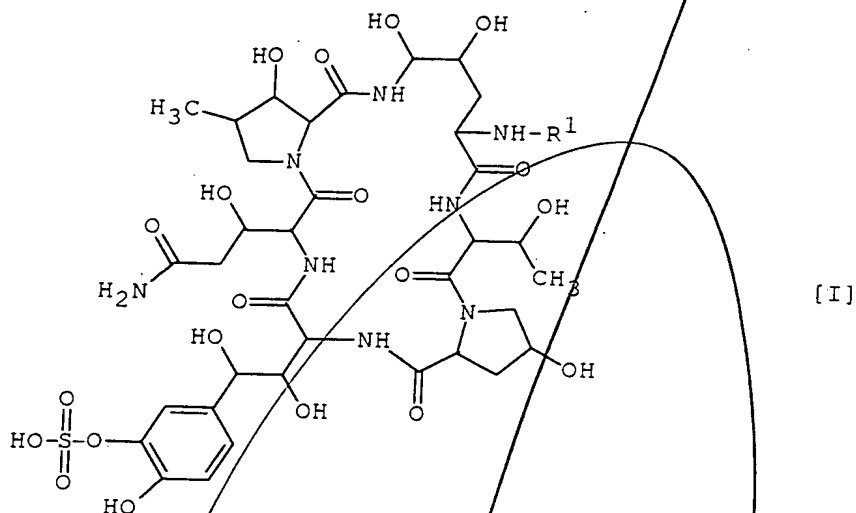
benzoyl substituted with oxadiazolyl which may have phenyl having lower alkoxy.

14. A compound of claim 11, wherein

R¹ is phenyl(lower)alkenoyl substituted with phenyl which may have lower alkoxy.

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15. A process for the preparation of a polypeptide compound of the formula [I] :



wherein

R^1 is lower alkanoyl substituted with unsaturated 6-membered heteromonocyclic group containing at least one nitrogen atom which may have one or more suitable substituent(s);

lower alkanoyl substituted with 1,2,3,4-tetrahydro-isoquinoline having higher alkoxy;

lower alkanoyl substituted with unsaturated condensed heterocyclic group containing at least one oxygen atom which may have one or more suitable substituent(s);

lower alkanoyl substituted with unsaturated condensed heterocyclic group containing 1 to 3 sulfur atom(s) which may have one or more suitable substituent(s);

lower alkanoyl substituted with unsaturated condensed heterocyclic group containing 2 or more nitrogen atom(s) which may have one or more suitable substituent(s);

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lower alkanoyl substituted with saturated 3 to 8-membered heteromonocyclic group containing at least one nitrogen atom which may have one or more suitable substituent(s);

ar(lower)alkenoyl substituted with aryl which may have one or more suitable substituent(s);

naphthyl(lower)alkenoyl which may have one or more higher alkoxy;

lower alkynoyl which may have one or more suitable substituent(s);

(C₂-C₆)alkanoyl substituted with naphthyl having higher alkoxy;

ar(C₂-C₆)alkanoyl substituted with aryl having one or more suitable substituent(s), in which ar(C₂-C₆)alkanoyl may have one or more suitable substituent(s);

aroyl substituted with heterocyclic group which may have one or more suitable substituent(s), in which aroyl may have one or more suitable substituent(s);

aroyl substituted with aryl having heterocyclic(higher)alkoxy, in which heterocyclic group may have one or more suitable substituent(s);

aroyl substituted with aryl having lower alkoxy(higher)alkoxy;

aroyl substituted with aryl having lower alkenyl(lower)alkoxy;

aroyl substituted with 2 lower alkoxy;

aroyl substituted with aryl having lower alkyl;

aroyl substituted with aryl having higher alkyl;

aryloxy(lower)alkanoyl which may have one or more suitable substituent(s);

ar(lower)alkoxy(lower)alkanoyl which may have one or more suitable substituent(s);

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arylamino(lower)alkanoyl which may have one or more suitable substituent(s);

lower alkanoyl substituted with pyrazolyl which has lower alkyl and aryl having higher alkoxy;

lower alkoxy(higher)alkanoyl, in which higher alkanoyl may have one or more suitable substituent(s);

aroyl substituted with aryl having heterocyclicoxy, in which heterocyclicoxy may have one or more suitable substituent(s);

aroyl substituted with cyclo(lower)alkyl having lower alkyl;

indolylcarbonyl having higher alkyl;

naphthoyl having lower alkyl;

naphthoyl having higher alkyl;

naphthoyl having lower alkoxy(higher)alkoxy;

aroyl substituted with aryl having lower alkoxy(lower)alkoxy(higher)alkoxy;

aroyl substituted with aryl having lower alkoxy(lower)alkoxy;

aroyl substituted with aryl which has aryl having lower alkoxy;

aroyl substituted with aryl which has aryl having lower alkoxy(lower)alkoxy;

aroyl substituted with aryl having heterocyclicoxy(higher)alkoxy;

aroyl substituted with aryl having aryloxy(lower)alkoxy;

aroyl substituted with aryl having heterocycliccarbonyl(higher)alkoxy;

lower alkanoyl substituted with oxazolyl which has aryl having higher alkoxy;

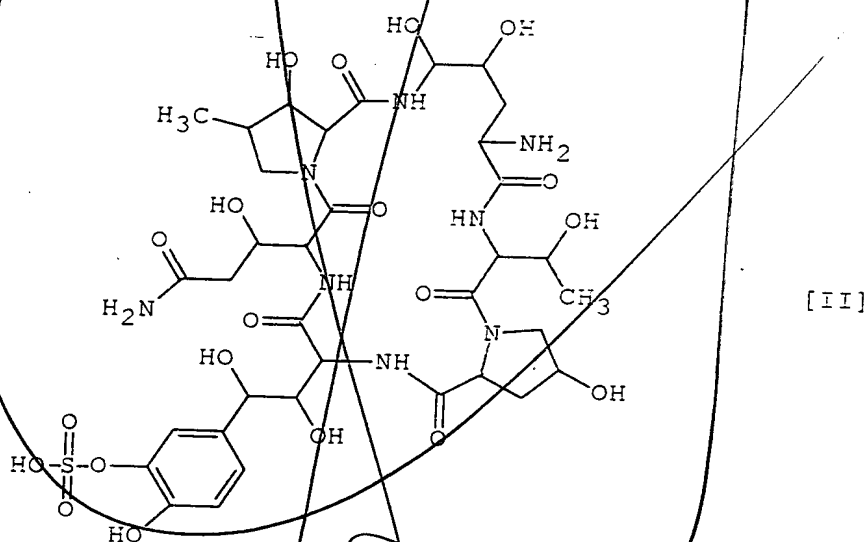
lower alkanoyl substituted with furyl which has aryl substituted with aryl having lower alkoxy;

lower alkanoyl substituted with triazolyl which

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has oxo and aryl having higher alkyl;
higher alkanoyl having hydroxy;
higher alkanoyl having ar(lower)alkyl and
hydroxy;
3-methyl-tridecenoyl; or
(C₂-C₆)alkanoyl substituted with aryl having
higher alkoxy, in which (C₂-C₆)alkanoyl may have
amino or protected amino, and
a pharmaceutically acceptable salt thereof,
which comprises

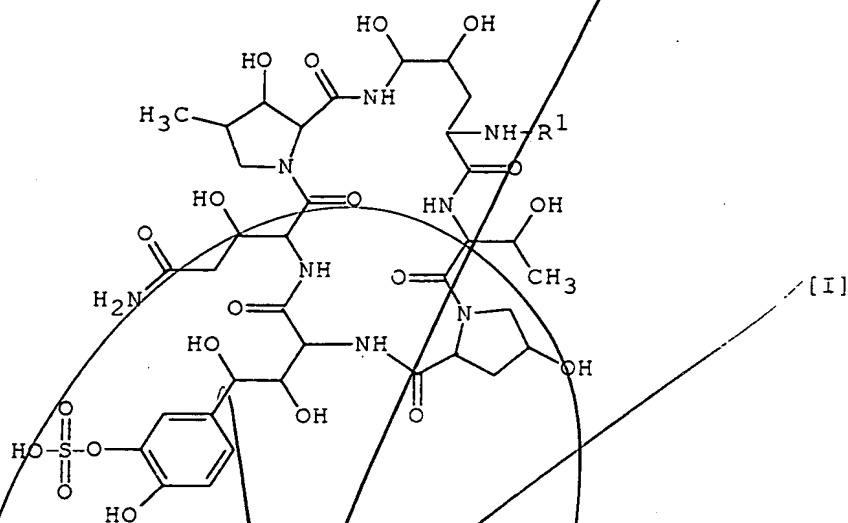
- 1) reacting a compound of the formula :



or its reactive derivative at the amino group or a salt thereof, with a compound of the formula :



wherein R¹ is defined above,
or its reactive derivative at the carboxy group or a
salt thereof, to give a compound [I] of the formula :



wherein R¹ is defined above,
or a salt thereof.

16. A pharmaceutical composition which comprises, as an active ingredient, a compound of claim 1 or a pharmaceutically acceptable salt thereof in admixture with pharmaceutically acceptable carriers or excipients.

17. Use of a compound of claim 1 or a pharmaceutically acceptable salt thereof as a medicament.

18. A compound of claim 1 or a pharmaceutically acceptable salt thereof for use as a medicament.

19. A method for the prophylactic and/or the therapeutic treatment of infectious diseases caused by pathogenic microorganisms which comprises administering a compound of claim 1 or a pharmaceutically acceptable salt thereof to a human being or an animal.